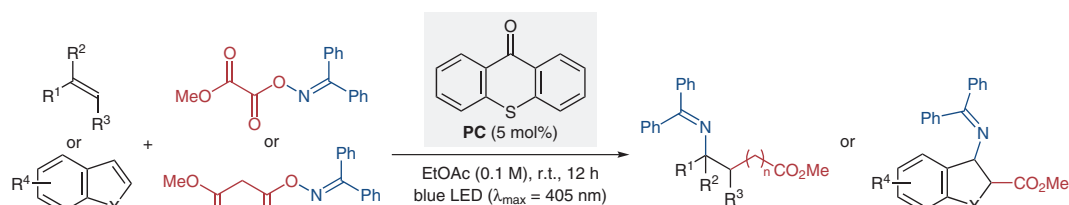


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Photochemical Single-Step Synthesis of β -Amino Acid Derivatives from Alkenes and (Hetero)Arenes

Nat. Chem. 2022, 14, 1174–1184, DOI: 10.1038/s41557-022-01008-w.

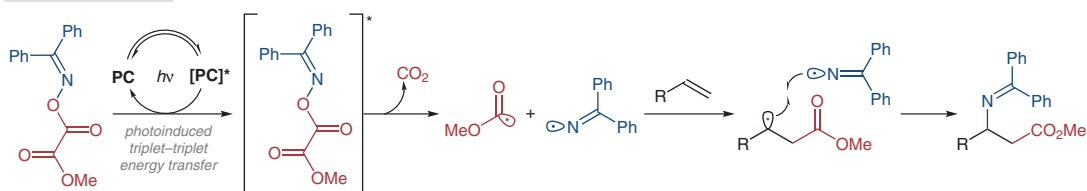
Thioxanthone-Catalyzed Single-Step Synthesis of β - and γ -Amino Acid Derivatives



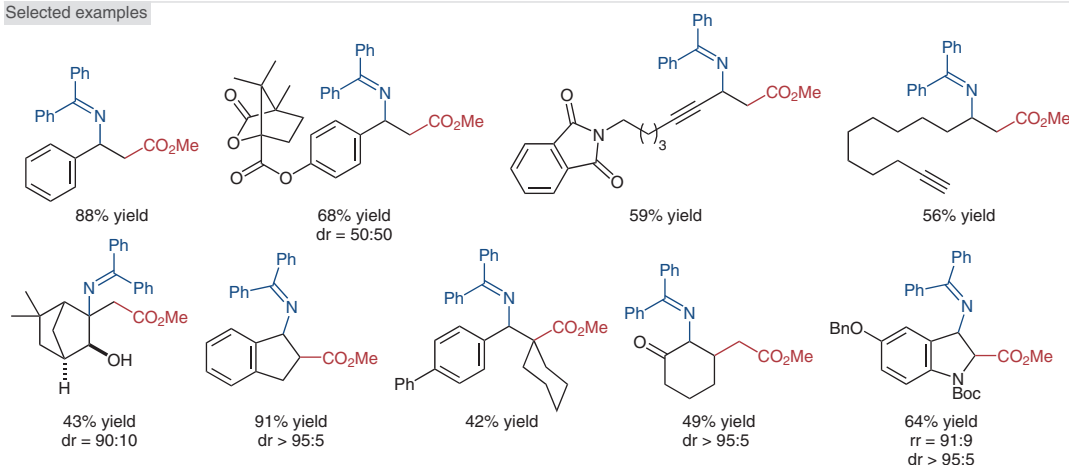
$R^1, R^2, R^3 = H, \text{Alk}, (\text{Het})\text{Ar}, \text{alkynyl}, \text{NHR}, \text{NCOR}, \text{OR}, \text{SR}, \text{SiMe}_3, \text{CN}, (\text{EtO})_2\text{PO}, \text{CO}_2\text{R}, \text{CONR}_2$;
 $R^4 = H, \text{Me}, \text{OR}, \text{F}, \text{Cl}, \text{Br}, \text{CN}, \text{COR}, \text{CO}_2\text{R}; \text{X} = \text{NBoc}, \text{O}, \text{S}; n = 0, 1$

137 examples
22–91% yield

Proposed mechanism



Selected examples



Significance: Glorius and co-workers report a thioxanthone-catalyzed iminocarboxylation of alkenes and (hetero)arenes with bifunctional oxime esters. A variety of substrates, ranging from simple alkenes such as ethylene, to heteroaromatic systems, are tolerated under the mild reaction conditions, affording the corresponding β - and γ -amino acid derivatives in modest to excellent yields.

Comment: Experimental and computational studies support a photoinduced triplet-triplet energy transfer mechanism in which N–O bond homolysis leads to the formation of a C-centered ester and N-centered iminyl radical pair. The potential of the method is demonstrated by syntheses of various biologically active molecules.

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Synfacts 2022, 18(11), 1235 Published online: 18.10.2022
DOI: 10.1055/s-0041-1738634; Reg-No.: B08822SF

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Category

Organo- and Biocatalysis

Key words

photocatalysis

thioxanthenes

amino acids

oxime esters

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